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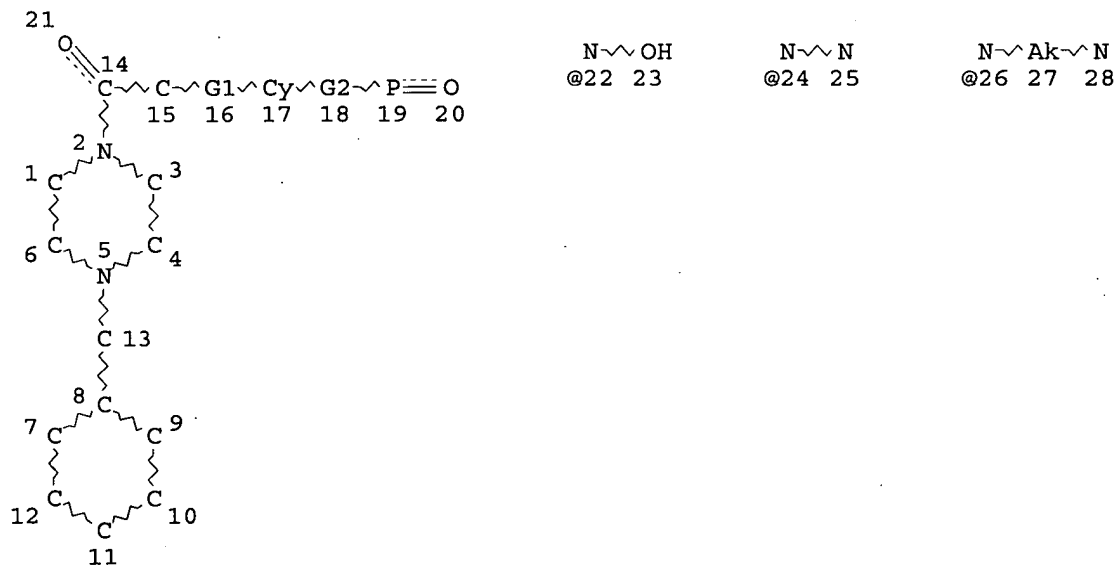
FILE COVERS 1907 - 29 Apr 2005 VOL 142 ISS 19
FILE LAST UPDATED: 28 Apr 2005 (20050428/ED)

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L1 STR
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REP G2=(0-8) C
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED
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GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 28

STEREO ATTRIBUTES: NONE

L3 38 SEA FILE=REGISTRY SSS FUL L1

L4 2 SEA FILE=HCAPLUS ABB=ON PLU=ON L3

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L4 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:534216 HCAPLUS

DOCUMENT NUMBER: 141:71722

TITLE: Preparation of halobenzylpiperazinyl oxoalkoxyaryl phosphonic acid as novel phosphorus-containing derivatives for treatment of inflammation and other immune disorders and as CCR1 antagonists

INVENTOR(S): Brown, Matthew Frank; Hayward, Matthew Merrill

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: PCT Int. Appl., 51 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

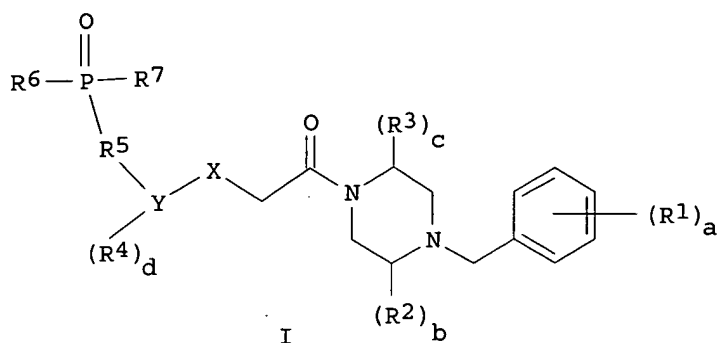
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004055031	A1	20040701	WO 2003-IB305571	20031128
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
NL 1025010	A1	20040624	NL 2003-1025010	20031212
NL 1025010	C2	20041014		
NL 1027158	A1	20041124	NL 2004-1027158	20041001
PRIORITY APPLN. INFO.:			US 2002-433399P	P 20021213

OTHER SOURCE(S): MARPAT 141:71722

GI



AB The preparation of title compds. I (a = 0-5; b = 0-2; c = 0-2; d = 0-4; X = O, S, CH₂, NR₆; Y = (C₆-C₁₀)aryl, (C₂-C₉)heteroaryl; R₁ = OH, halo, (C₁-C₈)alkyl, optionally substituted with 1-3 fluorine atoms, (C₁-C₈)alkoxy optionally substituted with 1-3 fluorine atoms, HO(C₁-C₈)alkyl, cyano, amino, H₂N(C₁-C₈)alkyl, carboxy, acyl, (C₁-C₈)alkyl, (C:O)(C₁-C₈)alkyl, H₂N(C:O), H₂N(C:O)(C₁-C₈)alkyl). R₂, R₃ = oxo, (C₁-C₈)alkyl optionally substituted with 1-3 fluorine atoms, (C₃-C₈)cycloalkyl, (C₃-C₈)cycloalkyl, (C₁-C₈)alkyl, (C₆-C₁₀)aryl, (C₆-C₁₀)aryl(C₁-C₈)alkyl, HO(C₁-C₈)alkyl, (C₁-C₈)alkyl-O-(C₁-C₈)alkyl, H₂N(C₁-C₈)alkyl, (C₁-C₈)alkyl-NH-(C₁-C₈)alkyl, [(C₁-C₈)alkyl]₂N-(C₁-C₈)alkyl, (C₂-C₉)heterocyclyl(C₁-C₈)alkyl, (C₁-C₈)alkyl(C:O)NH(C₁-C₈)alkyl, (C₁-C₈)alkyl-O-(C:O)NH(C₁-C₈)alkyl, H₂N(C:O)NH(C₁-C₈)alkyl, (C₁-C₈)alkyl-SO₂-NH(C₁-C₈)alkyl, (C₂-C₉)heteroaryl(C₁-C₈)alkyl, H₂N(C:O), H₂N(C:O)(C₁-C₈)alkyl. R₄ = HO, halo, cyano, HO(C:O), H₂N, (C₁-C₈)alkylNH, [(C₁-C₈)alkyl]₂N, (C₁-C₈)alkyl optionally substituted with 1-3 fluorine atoms, (C₁-C₈)alkoxy optionally substituted with 1-3 fluorine atoms, HO(C₁-C₈)alkyl, (C₁-C₈)alkyl-O-(C₁-C₈)alkyl, H₂N(C₁-C₈)alkyl, (C₁-C₈)alkylNH(C₁-C₈)alkyl, [(C₁-C₈)alkyl]₂N(C₁-C₈)alkyl, (C₁-C₈)alkyl(C:O), (C₁-C₈)alkyl(C:O)(C₁-C₈)alkyl, (C₆-C₁₀)aryl, (C₂-C₉)heteroaryl, (C₆-C₁₀)aryloxy, H₂N(C:O), H₂N(C:O)(C₁-C₈)alkyl, (C₁₀-C₈)alkylNH(C:O), (C₁-C₈)alkyl-NH(C:O)(C₁-C₈)alkyl, [(C₁-C₈)alkyl]₂N(C:O), [(C₁-C₈)alkyl]₂N(C:O)(C₁-C₈)alkyl, (C₃-C₈)cycloalkyl, (C₁-C₈)alkylSO₂, NC(C₁-C₈)alkyl, (C₁-C₈)alkyl(C:O)NH, H₂N(C:O)NH, H₂N(C:O)NH(C₁-C₈)alkyl. R₅ = bond, (C₁-C₈)alkyl; R₆ = OH, amino, (C₁-C₈)alkyl-NH; R₇ = H, OH, (C₁-C₈)alkoxy, (C₁-C₈)alkyl, a prodrug thereof, or the pharmaceutically acceptable salt of the compound or prodrug, are useful to treat inflammation and other immune disorders. The present invention also relates to pharmaceutical compns. that include compds. I and a pharmaceutically acceptable carrier. Moreover, the present invention relates to methods of using the above-described compds. and compns. to treat and prevent diseases and conditions.

IT 713115-39-0P 713115-40-3P 713115-41-4P
713115-42-5P 713115-43-6P 713115-44-7P
713115-45-8P 713115-46-9P 713115-47-0P
713115-48-1P 713115-49-2P 713115-50-5P
713115-51-6P 713115-52-7P 713115-53-8P
713115-54-9P 713115-55-0P 713115-56-1P
713115-57-2P 713115-58-3P 713115-59-4P
713115-60-7P 713115-61-8P 713115-62-9P
713115-63-0P 713115-64-1P

RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); TEM (Technical or engineered material use); BIOL (Biological study); PREP (Preparation); USES (Uses)

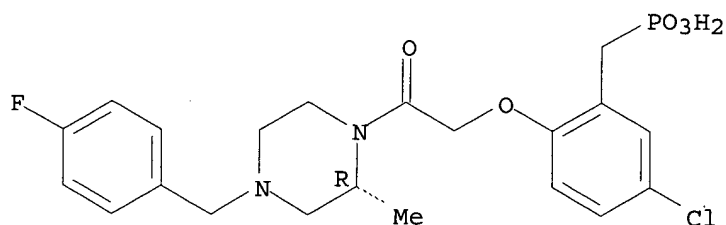
(preparation of halobenzylpiperazinyl oxoalkoxyaryl phosphonic acid as novel

phosphorus-containing derivs. for treatment of inflammation and other immune disorders)

RN 713115-39-0 HCAPLUS

CN Phosphonic acid, [[5-chloro-2-[2-[(2R)-4-[(4-fluorophenyl)methyl]-2-methyl-1-piperazinyl]-2-oxoethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

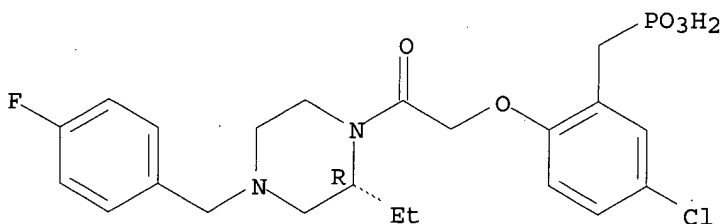
Absolute stereochemistry.



RN 713115-40-3 HCAPLUS

CN Phosphonic acid, [[5-chloro-2-[2-[(2R)-2-ethyl-4-[(4-fluorophenyl)methyl]-1-piperazinyl]-2-oxoethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

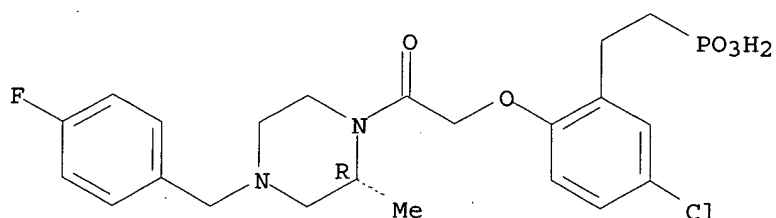
Absolute stereochemistry.



RN 713115-41-4 HCAPLUS

CN Phosphonic acid, [2-[5-chloro-2-[2-[(2R)-4-[(4-fluorophenyl)methyl]-2-methyl-1-piperazinyl]-2-oxoethoxy]phenyl]ethyl]- (9CI) (CA INDEX NAME)

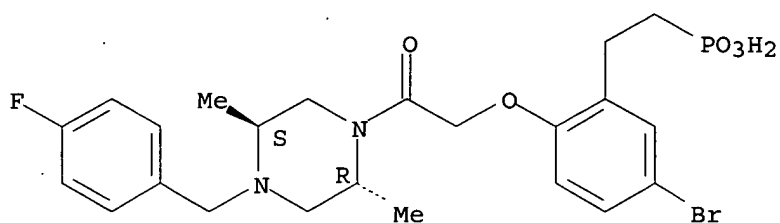
Absolute stereochemistry.



RN 713115-42-5 HCAPLUS

CN Phosphonic acid, [2-[5-bromo-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]ethyl]- (9CI) (CA INDEX NAME)

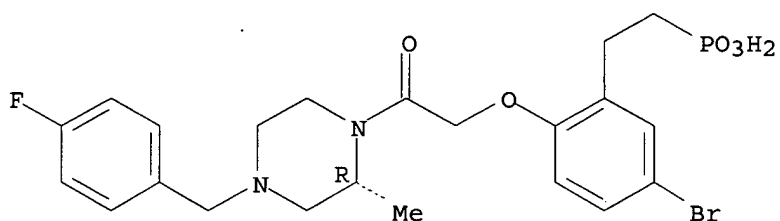
Absolute stereochemistry.



RN 713115-43-6 HCAPLUS

CN Phosphonic acid, [2-[5-bromo-2-[2-[(2R)-4-[(4-fluorophenyl)methyl]-2-methyl-1-piperazinyl]-2-oxoethoxy]phenyl]ethyl]- (9CI) (CA INDEX NAME)

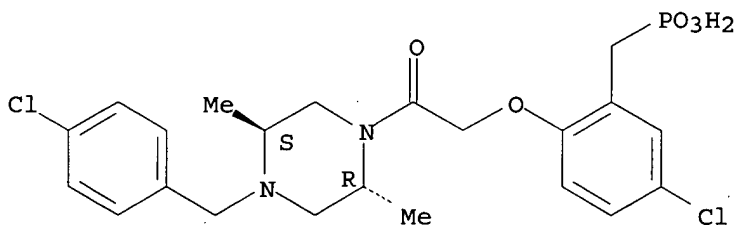
Absolute stereochemistry.



RN 713115-44-7 HCAPLUS

CN Phosphonic acid, [[5-chloro-2-[2-[(2R,5S)-4-[(4-chlorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

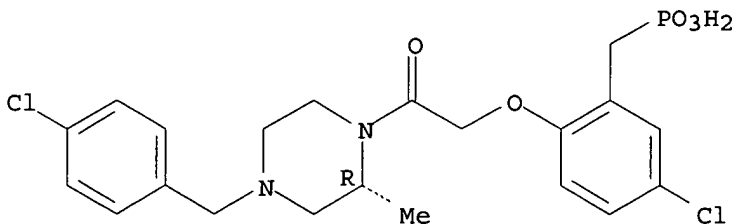
Absolute stereochemistry.



RN 713115-45-8 HCAPLUS

CN Phosphonic acid, [[5-chloro-2-[2-[(2R)-4-[(4-chlorophenyl)methyl]-2-methyl-1-piperazinyl]-2-oxoethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

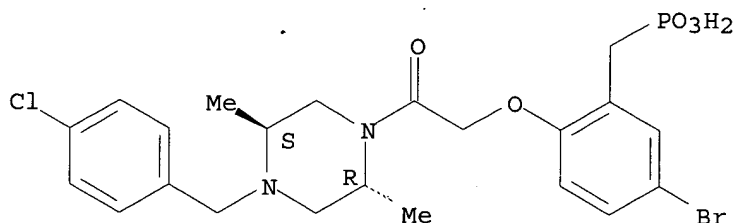
Absolute stereochemistry.



RN 713115-46-9 HCAPLUS

CN Phosphonic acid, [[5-bromo-2-[2-[(2R,5S)-4-[(4-chlorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

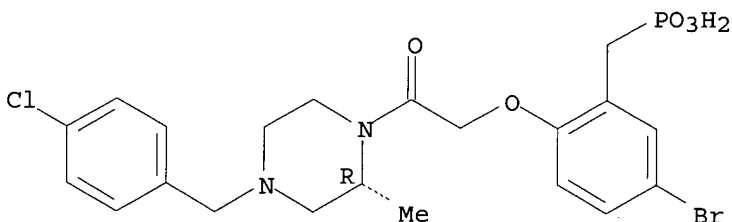
Absolute stereochemistry.



RN 713115-47-0 HCAPLUS

CN Phosphonic acid, [[5-bromo-2-[2-[(2R)-4-[(4-chlorophenyl)methyl]-2-methyl-1-piperazinyl]-2-oxoethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

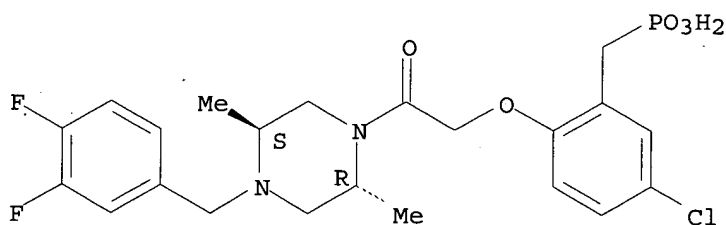
Absolute stereochemistry.



RN 713115-48-1 HCAPLUS

CN Phosphonic acid, [[5-chloro-2-[2-[(2R,5S)-4-[(3,4-difluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

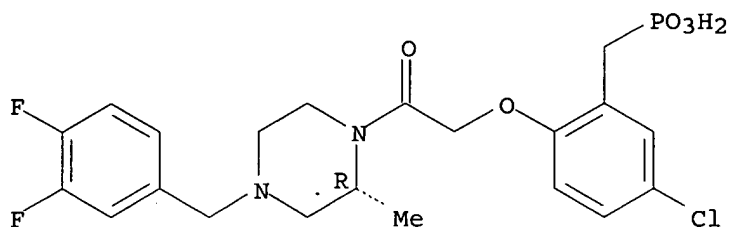
Absolute stereochemistry.



RN 713115-49-2 HCAPLUS

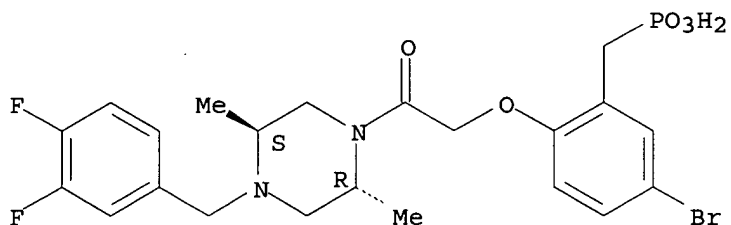
CN Phosphonic acid, [[5-chloro-2-[2-[(2R)-4-[(3,4-difluorophenyl)methyl]-2-methyl-1-piperazinyl]-2-oxoethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



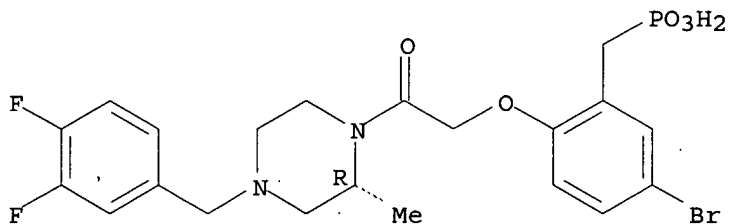
RN 713115-50-5 HCAPLUS
 CN Phosphonic acid, [[5-bromo-2-[2-[(2R,5S)-4-[(3,4-difluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



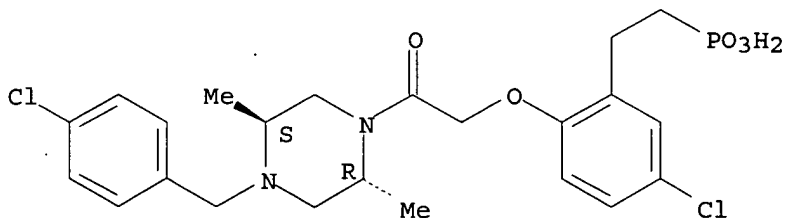
RN 713115-51-6 HCAPLUS
 CN Phosphonic acid, [[5-bromo-2-[2-[(2R)-4-[(3,4-difluorophenyl)methyl]-2-methyl-1-piperazinyl]-2-oxoethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 713115-52-7 HCAPLUS
 CN Phosphonic acid, [2-[5-chloro-2-[2-[(2R,5S)-4-[(4-chlorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]ethyl]- (9CI) (CA INDEX NAME)

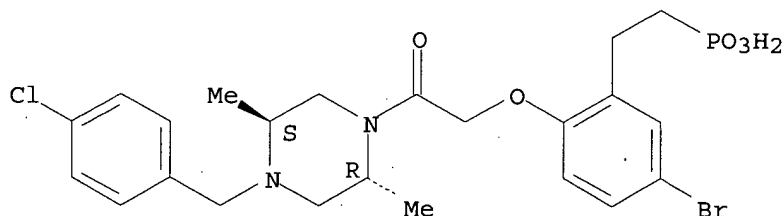
Absolute stereochemistry.



RN 713115-53-8 HCAPLUS

CN Phosphonic acid, [2-[5-bromo-2-[2-[(2R,5S)-4-[(4-chlorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]ethyl]- (9CI) (CA INDEX NAME)

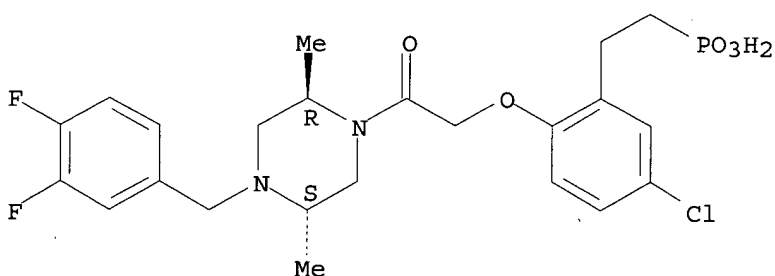
Absolute stereochemistry.



RN 713115-54-9 HCAPLUS

CN Phosphonic acid, [2-[5-chloro-2-[2-[(2R,5S)-4-[(3,4-difluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]ethyl]- (9CI) (CA INDEX NAME)

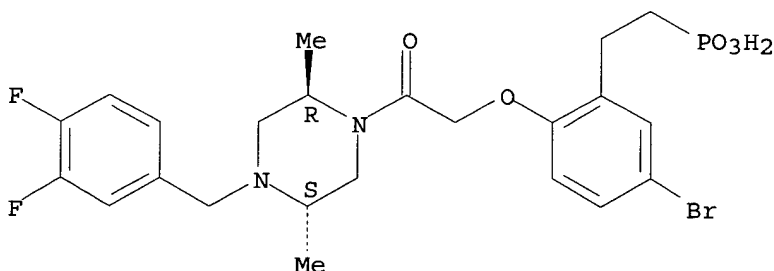
Absolute stereochemistry.



RN 713115-55-0 HCAPLUS

CN Phosphonic acid, [2-[5-bromo-2-[2-[(2R,5S)-4-[(3,4-difluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]ethyl]- (9CI) (CA INDEX NAME)

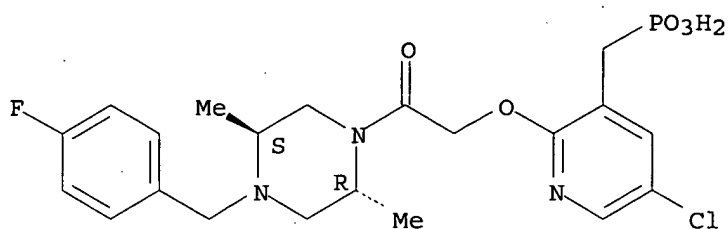
Absolute stereochemistry.



RN 713115-56-1 HCAPLUS

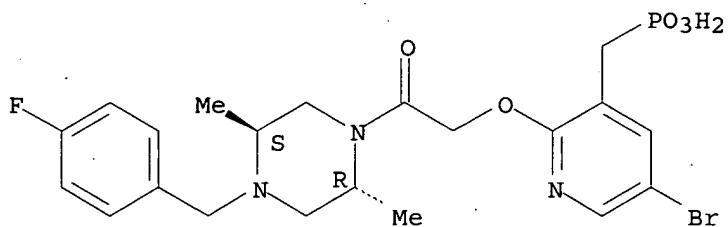
CN Phosphonic acid, [[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]-3-pyridinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



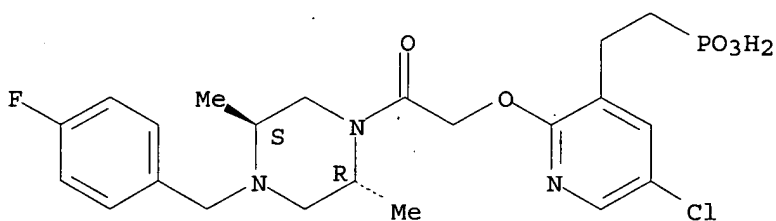
RN 713115-57-2 HCAPLUS
 CN Phosphonic acid, [[5-bromo-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]-3-pyridinyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



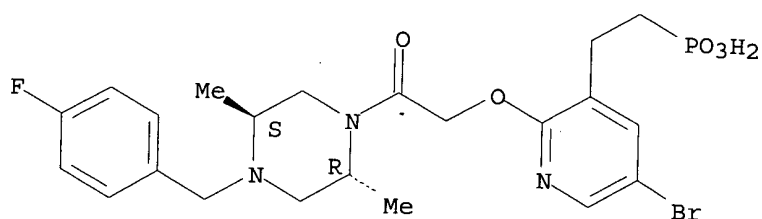
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 CN Phosphonic acid, [2-[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]-3-pyridinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



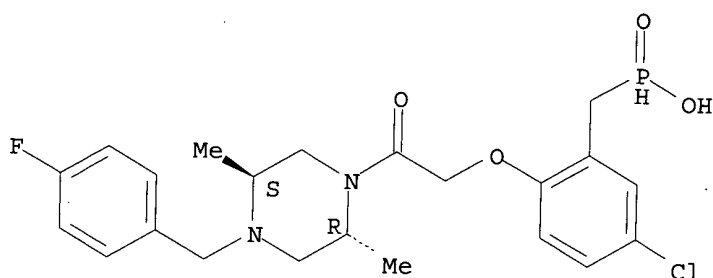
RN 713115-59-4 HCAPLUS
 CN Phosphonic acid, [2-[5-bromo-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]-3-pyridinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



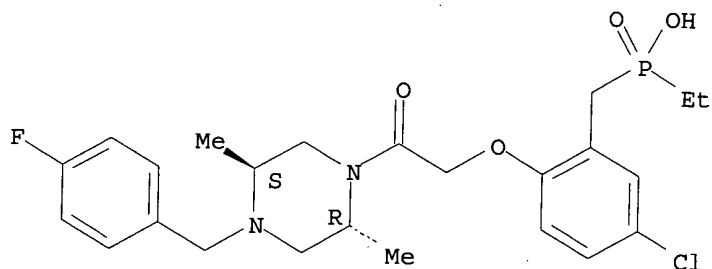
RN 713115-60-7 HCAPLUS
 CN Phosphinic acid, [[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



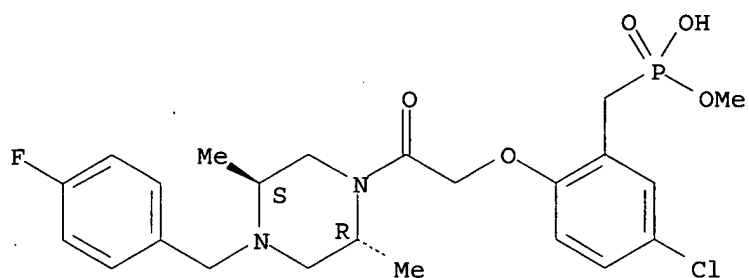
RN 713115-61-8 HCAPLUS
 CN Phosphinic acid, [[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]methyl]ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 713115-62-9 HCAPLUS
 CN Phosphonic acid, [[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]methyl]-, monomethyl ester (9CI) (CA INDEX NAME)

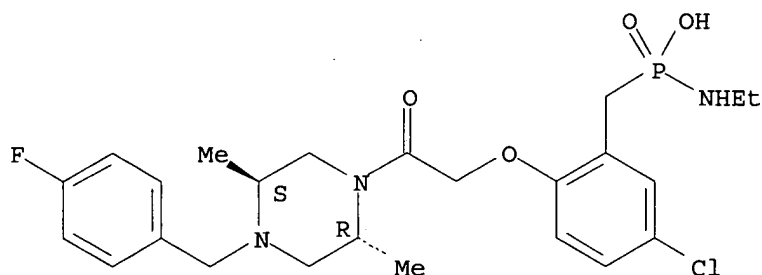
Absolute stereochemistry.



RN 713115-63-0 HCAPLUS

CN Phosphonamidic acid, P-[[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]methyl]-N-ethyl- (9CI) (CA INDEX NAME)

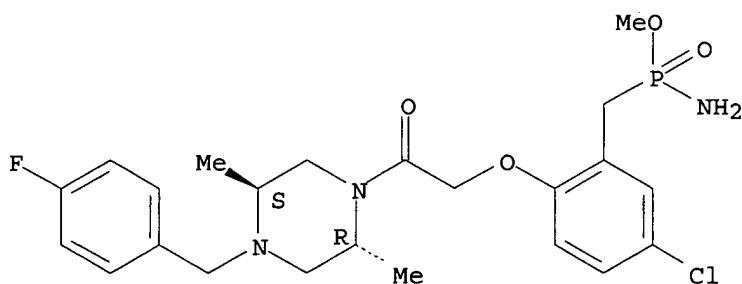
Absolute stereochemistry.



RN 713115-64-1 HCAPLUS

CN Phosphonamidic acid, P-[[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 713114-94-4P 713114-96-6P 713114-98-8P

713115-00-5P 713115-02-7P 713115-04-9P

713115-06-1P 713115-08-3P

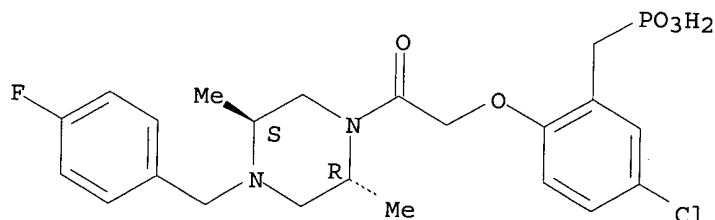
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of halobenzylpiperazinyl oxoalkoxyaryl phosphonic acid as novel phosphorus-containing derivs. for treatment of inflammation and other immune disorders)

RN 713114-94-4 HCAPLUS

CN Phosphonic acid, [[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

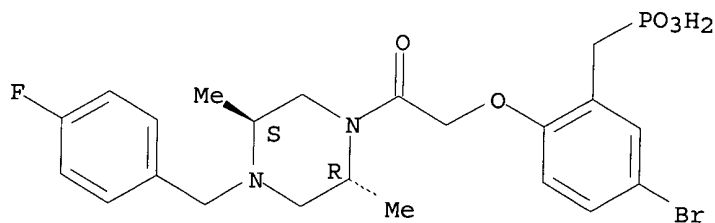
Absolute stereochemistry.



RN 713114-96-6 HCAPLUS

CN Phosphonic acid, [[5-bromo-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

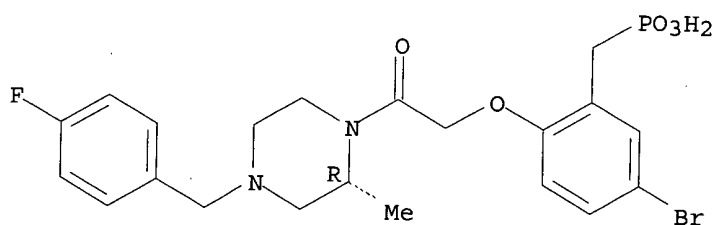
Absolute stereochemistry.



RN 713114-98-8 HCAPLUS

CN Phosphonic acid, [[5-bromo-2-[2-[(2R)-4-[(4-fluorophenyl)methyl]-2-methyl-1-piperazinyl]-2-oxoethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

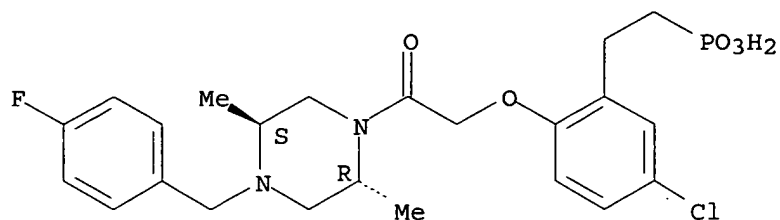
Absolute stereochemistry.



RN 713115-00-5 HCAPLUS

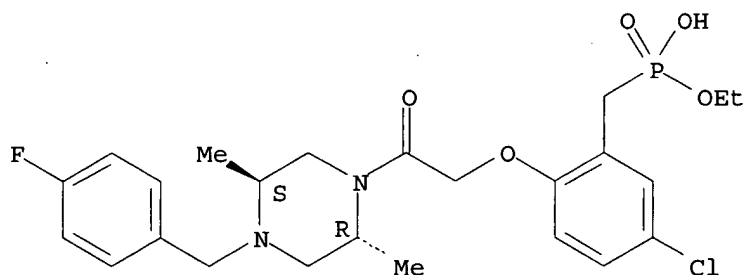
CN Phosphonic acid, [2-[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



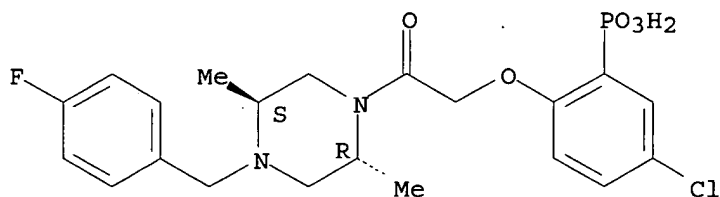
RN 713115-02-7 HCAPLUS
 CN Phosphonic acid, [[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]methyl]-, monoethyl ester (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.



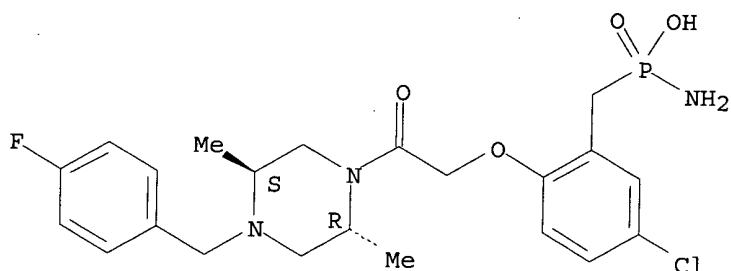
RN 713115-04-9 HCAPLUS
 CN Phosphonic acid, [5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 713115-06-1 HCAPLUS
 CN Phosphonamidic acid, P-[[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

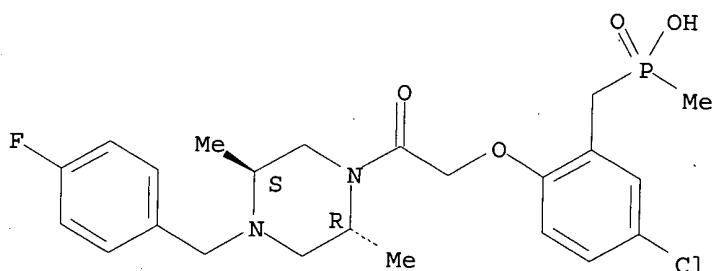
Absolute stereochemistry.



RN 713115-08-3 HCAPLUS

CN Phosphonic acid, [[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]methyl]methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 713115-23-2P 713115-27-6P 713115-37-8P
713115-38-9P

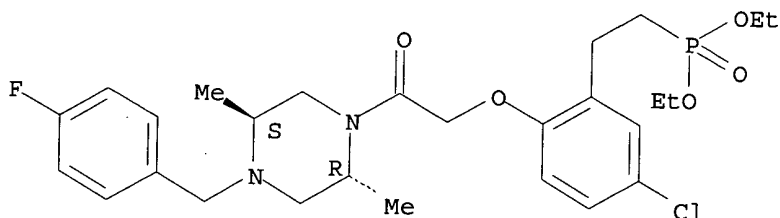
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of halobenzylpiperazinyl oxoalkoxyaryl phosphonic acid as novel phosphorus-containing derivs. for treatment of inflammation and other immune disorders)

RN 713115-23-2 HCAPLUS

CN Phosphonic acid, [2-[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]ethyl]-, diethyl ester (9CI) (CA INDEX NAME)

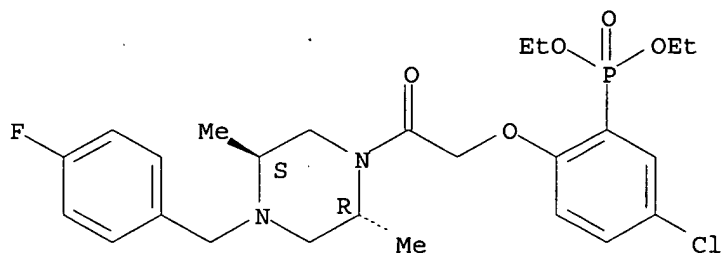
Absolute stereochemistry.



RN 713115-27-6 HCAPLUS

CN Phosphonic acid, [5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]-, diethyl ester (9CI) (CA INDEX NAME)

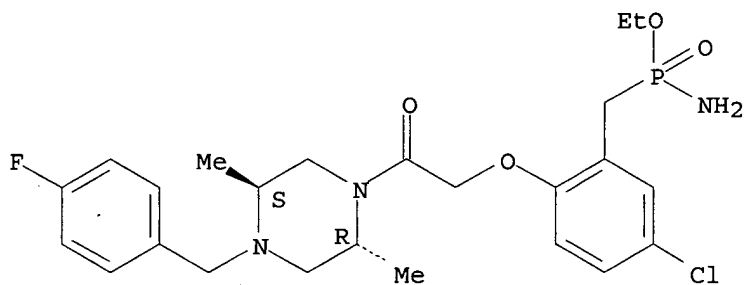
Absolute stereochemistry.



RN 713115-37-8 HCAPLUS

CN Phosphonamidic acid, P-[[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]methyl]-, ethyl ester (9CI)
(CA INDEX NAME)

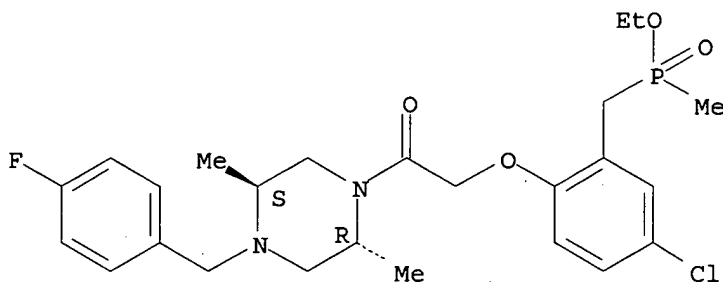
Absolute stereochemistry.



RN 713115-38-9 HCAPLUS

CN Phosphinic acid, [[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]methyl]methyl-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:533969 HCAPLUS

DOCUMENT NUMBER: 141:71720

TITLE: Preparation of halobenzylpiperazinyl oxoalkoxyaryl phosphonic acid as novel phosphorus-containing

derivatives for treatment of inflammation and other immune disorders

INVENTOR(S): Brown, Matthew F.; Hayward, Matthew M.

PATENT ASSIGNEE(S): Pfizer Inc, USA

SOURCE: U.S. Pat. Appl. Publ., 20 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

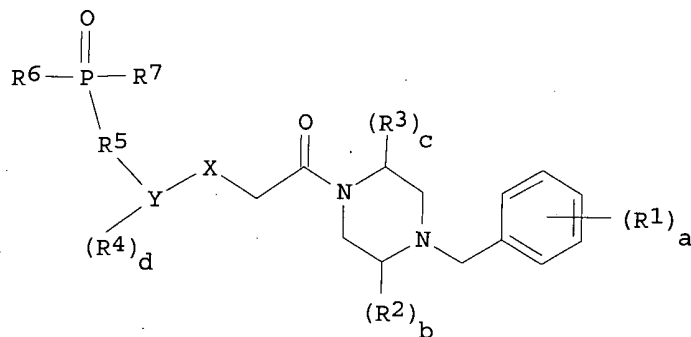
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004127465	A1	20040701	US 2003-734411	20031212
NL 1025010	A1	20040624	NL 2003-1025010	20031212
NL 1025010	C2	20041014		
NL 1027158	A1	20041124	NL 2004-1027158	20041001
PRIORITY APPLN. INFO.:			US 2002-433399P	P 20021213
OTHER SOURCE(S):	MARPAT 141:71720			

GI



I

AB The preparation of title compds. I (a = 0-5; b = 0-2; c = 0-2; d = 0-4; X = O, S, CH₂, NR₆; Y = (C₆-C₁₀)aryl, (C₂-C₉)heteroaryl; R₁ = OH, halo, (C₁-C₈)alkyl, optionally substituted with 1-3 fluorine atoms, (C₁-C₈)alkoxy optionally substituted with 1-3 fluorine atoms, HO(C₁-C₈)alkyl, cyano, amino, H₂N(C₁-C₈)alkyl, carboxy, acyl, (C₁-C₈)alkyl, (C:O)(C₁-C₈)alkyl, H₂N(C:O), H₂N(C:O)(C₁-C₈)alkyl). R₂, R₃ = oxo, (C₁-C₈)alkyl optionally substituted with 1-3 fluorine atoms, (C₃-C₈)cycloalkyl, (C₃-C₈)cycloalkyl, (C₁-C₈)alkyl, (C₆-C₁₀)aryl, (C₆-C₁₀)aryl(C₁-C₈)alkyl, HO(C₁-C₈)alkyl, (C₁-C₈)alkyl-O-(C₁-C₈)alkyl, H₂N(C₁-C₈)alkyl, (C₁-C₈)alkyl-NH-(C₁-C₈)alkyl, [(C₁-C₈)alkyl]₂N-(C₁-C₈)alkyl, (C₂-C₉)heterocyclyl(C₁-C₈)alkyl, (C₁-C₈)alkyl(C:O)NH(C₁-C₈)alkyl, (C₁-C₈)alkyl-O-(C:O)NH(C₁-C₈)alkyl, H₂N(C:O)NH(C₁-C₈)alkyl, (C₁-C₈)alkyl-SO₂-NH(C₁-C₈)alkyl, (C₂-C₉)heteroaryl(C₁-C₈)alkyl, H₂N(C:O), H₂N(C:O)(C₁-C₈)alkyl. R₄ = HO, halo, cyano, HO(C:O), H₂N, (C₁-C₈)alkylNH, [(C₁-C₈)alkyl]₂N, (C₁-C₈)alkyl optionally substituted with 1-3 fluorine atoms, (C₁-C₈)alkoxy optionally substituted with 1-3 fluorine atoms, HO(C₁-C₈)alkyl, (C₁-C₈)alkyl-O-(C₁-C₈)alkyl, H₂N(C₁-C₈)alkyl, (C₁-C₈)alkylNH(C₁-C₈)alkyl, [(C₁-C₈)alkyl]₂N(C₁-C₈)alkyl, (C₁-C₈)alkyl(C:O), (C₁-C₈)alkyl(C:O)(C₁-C₈)alkyl, (C₆-C₁₀)aryl, (C₂-C₉)heteroaryl, (C₆-C₁₀)aryloxy, H₂N(C:O), H₂N(C:O)(C₁-C₈)alkyl, (C₁₀C₈)alkylNH(C:O), (C₁-C₈)alkyl-NH(C:O)(C₁-C₈)alkyl,

[(C1-C8)alkyl]2N(C:O), [(C1-C8)alkyl]2N(C:O) (C1-C8)alkyl, (C3-C8)cycloalkyl, (C1-C8)alkylSO₂, NC(C1-C8)alkyl, (C1-C8)alkyl(C:O)NH, H₂N(C:O)NH, H₂N(C:O)NH(C1-C8)alkyl. R₅ = bond, (C1-C8)alkyl; R₆ = OH, amino, (C1-C8)alkyl-NH; R₇ = H, OH, (C1-C8)alkoxy, (C1-C8)alkyl, a prodrug thereof, or the pharmaceutically acceptable salt of the compound or prodrug, are useful to treat inflammation and other immune disorders. The present invention also relates to pharmaceutical compns. that include compds. I and a pharmaceutically acceptable carrier. Moreover, the present invention relates to methods of using the above-described compds. and compns. to treat and prevent diseases and conditions.

IT 713115-39-0P 713115-40-3P 713115-41-4P
713115-42-5P 713115-43-6P 713115-44-7P
713115-45-8P 713115-46-9P 713115-47-0P
713115-48-1P 713115-49-2P 713115-50-5P
713115-51-6P 713115-52-7P 713115-53-8P
713115-54-9P 713115-55-0P 713115-56-1P
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713115-63-0P 713115-64-1P

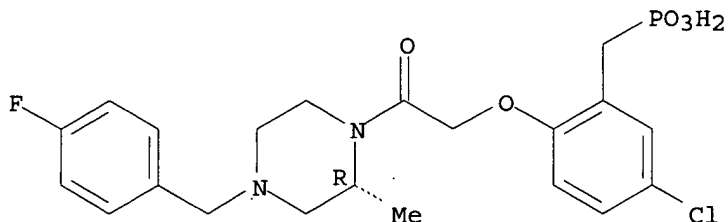
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); TEM (Technical or engineered material use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of halobenzylpiperazinyl oxoalkoxyaryl phosphonic acid as novel phosphorus-containing derivs. for treatment of inflammation and other immune disorders)

RN 713115-39-0 HCAPLUS

CN Phosphonic acid, [[5-chloro-2-[2-[(2R)-4-[(4-fluorophenyl)methyl]-2-methyl-1-piperazinyl]-2-oxoethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

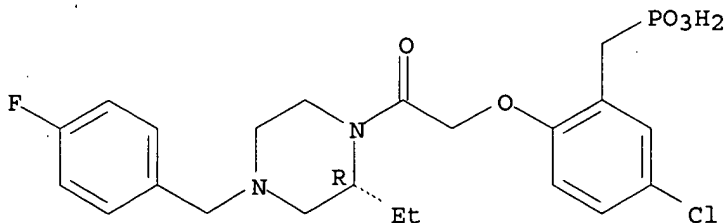
Absolute stereochemistry.



RN 713115-40-3 HCAPLUS

CN Phosphonic acid, [[5-chloro-2-[2-[(2R)-2-ethyl-4-[(4-fluorophenyl)methyl]-1-piperazinyl]-2-oxoethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

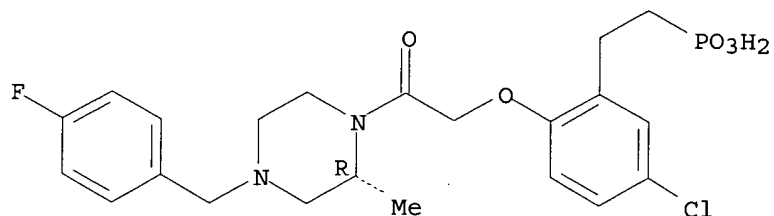
Absolute stereochemistry.



RN 713115-41-4 HCAPLUS

CN Phosphonic acid, [2-[5-chloro-2-[2-[(2R)-4-[(4-fluorophenyl)methyl]-2-methyl-1-piperazinyl]-2-oxoethoxy]phenyl]ethyl]- (9CI) (CA INDEX NAME)

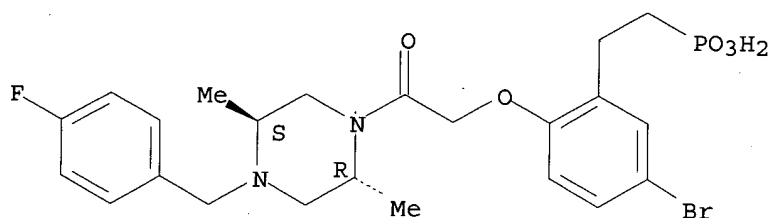
Absolute stereochemistry.



RN 713115-42-5 HCAPLUS

CN Phosphonic acid, [2-[5-bromo-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]ethyl]- (9CI) (CA INDEX NAME)

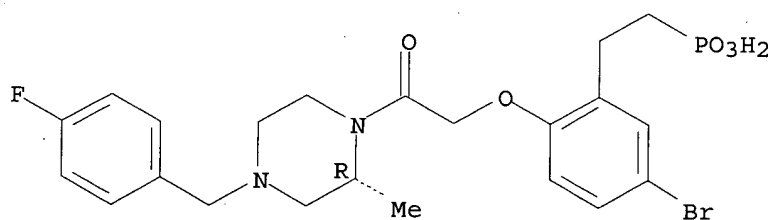
Absolute stereochemistry.



RN 713115-43-6 HCAPLUS

CN Phosphonic acid, [2-[5-bromo-2-[2-[(2R)-4-[(4-fluorophenyl)methyl]-2-methyl-1-piperazinyl]-2-oxoethoxy]phenyl]ethyl]- (9CI) (CA INDEX NAME)

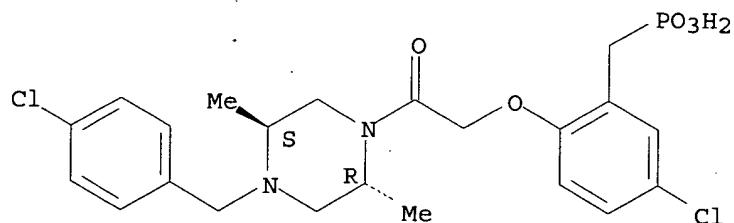
Absolute stereochemistry.



RN 713115-44-7 HCAPLUS

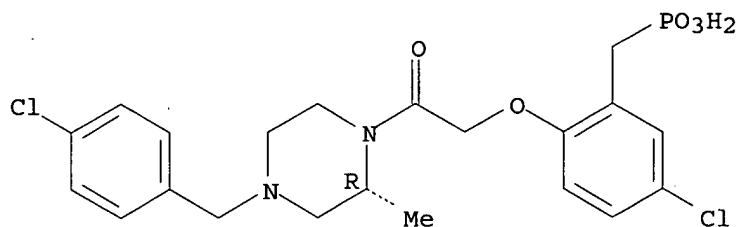
CN Phosphonic acid, [[5-chloro-2-[2-[(2R,5S)-4-[(4-chlorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



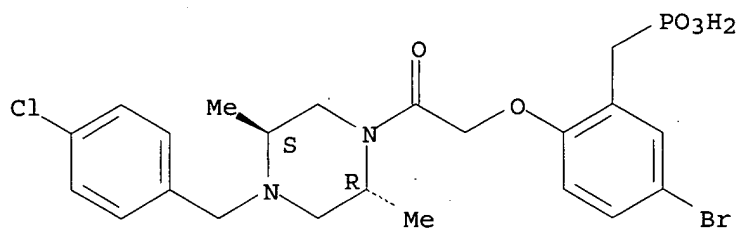
RN 713115-45-8 HCAPLUS
 CN Phosphonic acid, [[5-chloro-2-[2-[(2R)-4-[(4-chlorophenyl)methyl]-2-methyl-1-piperazinyl]-2-oxoethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



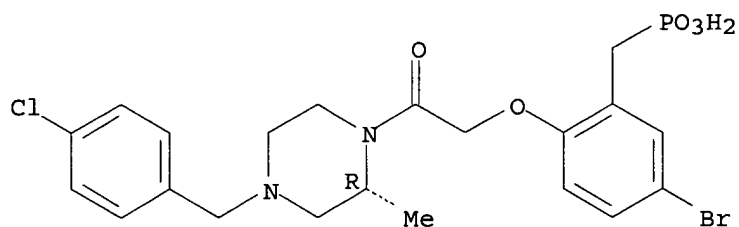
RN 713115-46-9 HCAPLUS
 CN Phosphonic acid, [[5-bromo-2-[2-[(2R,5S)-4-[(4-chlorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



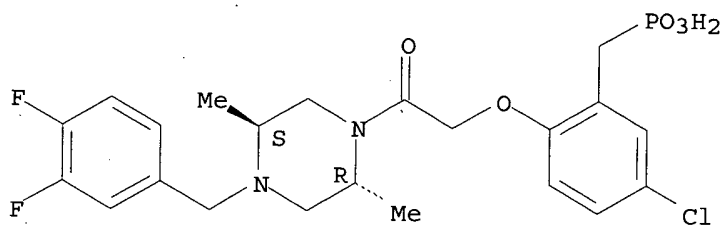
RN 713115-47-0 HCAPLUS
 CN Phosphonic acid, [[5-bromo-2-[2-[(2R)-4-[(4-chlorophenyl)methyl]-2-methyl-1-piperazinyl]-2-oxoethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 713115-48-1 HCAPLUS
 CN Phosphonic acid, [[5-chloro-2-[2-[(2R,5S)-4-[(3,4-difluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

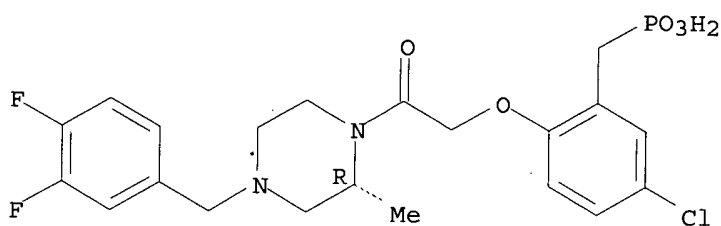
Absolute stereochemistry.



RN 713115-49-2 HCAPLUS

CN Phosphonic acid, [[5-chloro-2-[2-[(2R)-4-[(3,4-difluorophenyl)methyl]-2-methyl-1-piperazinyl]-2-oxoethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

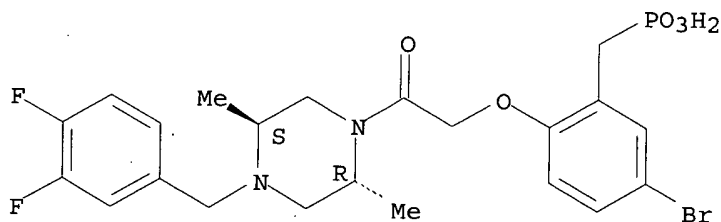
Absolute stereochemistry.



RN 713115-50-5 HCAPLUS

CN Phosphonic acid, [[5-bromo-2-[2-[(2R,5S)-4-[(3,4-difluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

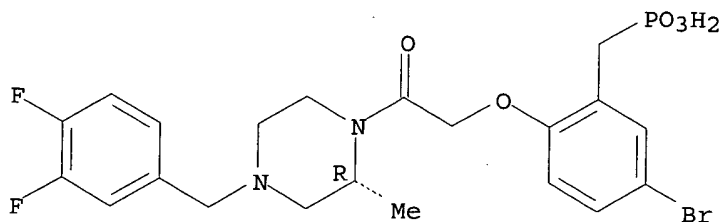
Absolute stereochemistry.



RN 713115-51-6 HCAPLUS

CN Phosphonic acid, [[5-bromo-2-[2-[(2R)-4-[(3,4-difluorophenyl)methyl]-2-methyl-1-piperazinyl]-2-oxoethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

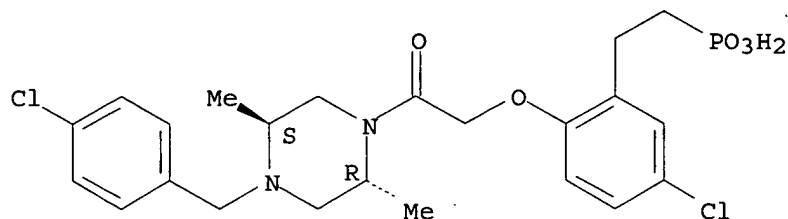
Absolute stereochemistry.



RN 713115-52-7 HCAPLUS

CN Phosphonic acid, [2-[5-chloro-2-[2-[(2R,5S)-4-[(4-chlorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]ethyl]- (9CI) (CA INDEX NAME)

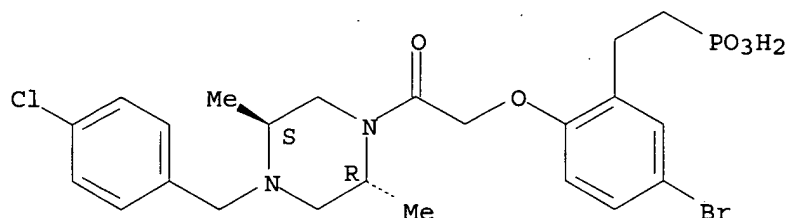
Absolute stereochemistry.



RN 713115-53-8 HCAPLUS

CN Phosphonic acid, [2-[5-bromo-2-[2-[(2R,5S)-4-[(4-chlorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]ethyl]- (9CI) (CA INDEX NAME)

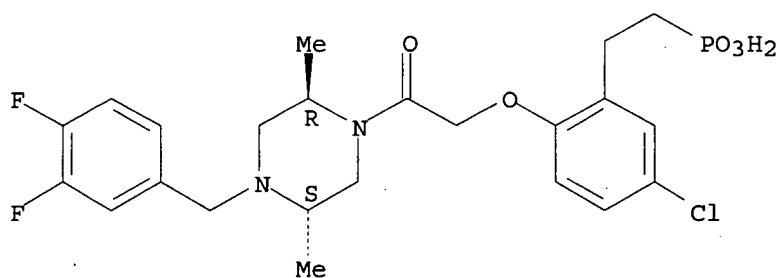
Absolute stereochemistry.



RN 713115-54-9 HCAPLUS

CN Phosphonic acid, [2-[5-chloro-2-[2-[(2R,5S)-4-[(3,4-difluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]ethyl]- (9CI) (CA INDEX NAME)

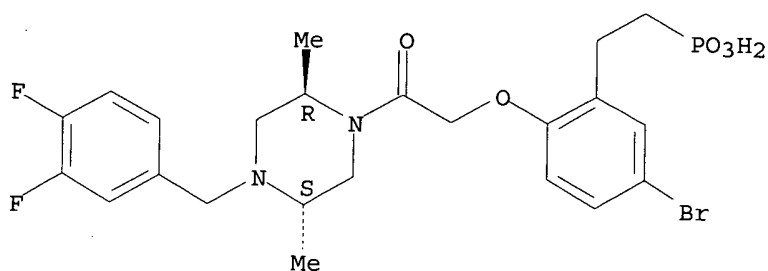
Absolute stereochemistry.



RN 713115-55-0 HCAPLUS

CN Phosphonic acid, [2-[5-bromo-2-[2-[(2R,5S)-4-[(3,4-difluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]ethyl]- (9CI) (CA INDEX NAME)

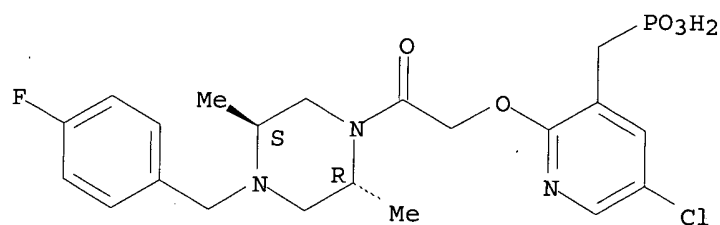
Absolute stereochemistry.



RN 713115-56-1 HCAPLUS

CN Phosphonic acid, [[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]-3-pyridinyl]methyl]- (9CI) (CA INDEX NAME)

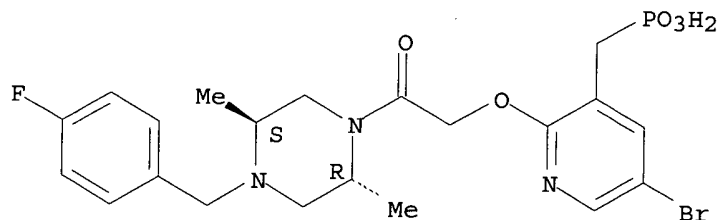
Absolute stereochemistry.



RN 713115-57-2 HCAPLUS

CN Phosphonic acid, [[5-bromo-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]-3-pyridinyl]methyl]- (9CI) (CA INDEX NAME)

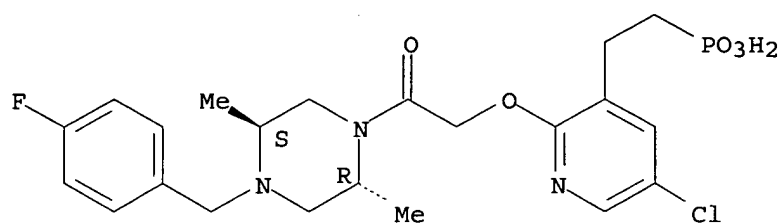
Absolute stereochemistry.



RN 713115-58-3 HCAPLUS

CN Phosphonic acid, [2-[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]-3-pyridinyl]ethyl]- (9CI) (CA INDEX NAME)

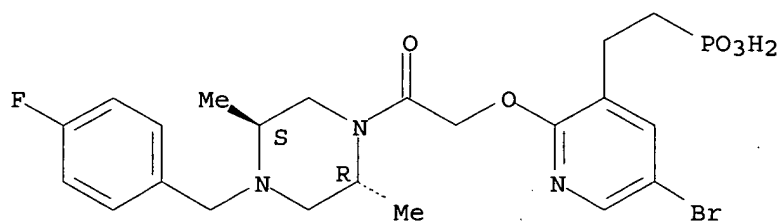
Absolute stereochemistry.



RN 713115-59-4 HCAPLUS

CN Phosphonic acid, [2-[5-bromo-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]-3-pyridinyl]ethyl]- (9CI) (CA INDEX NAME)

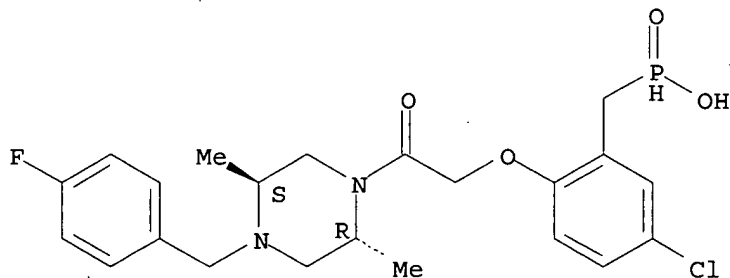
Absolute stereochemistry.



RN 713115-60-7 HCAPLUS

CN Phosphonic acid, [[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

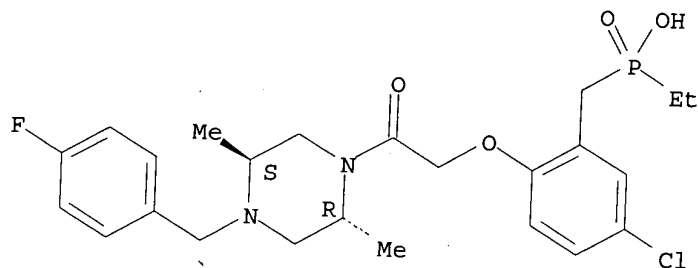
Absolute stereochemistry.



RN 713115-61-8 HCAPLUS

CN Phosphonic acid, [[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]methyl]ethyl- (9CI) (CA INDEX NAME)

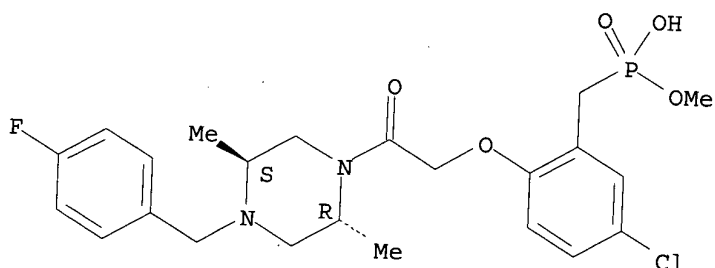
Absolute stereochemistry.



RN 713115-62-9 HCAPLUS

CN Phosphonic acid, [[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]methyl]-, monomethyl ester (9CI) (CA INDEX NAME)

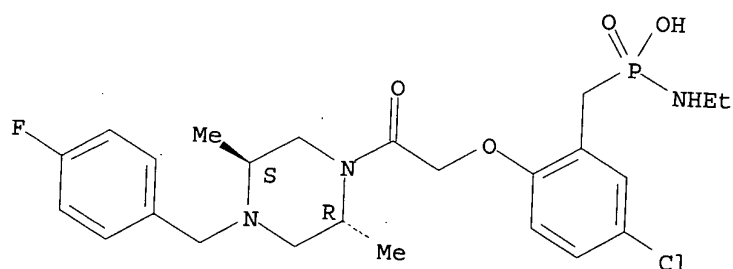
Absolute stereochemistry.



RN 713115-63-0 HCAPLUS

CN Phosphonamidic acid, P-[[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]methyl]-N-ethyl- (9CI) (CA INDEX NAME)

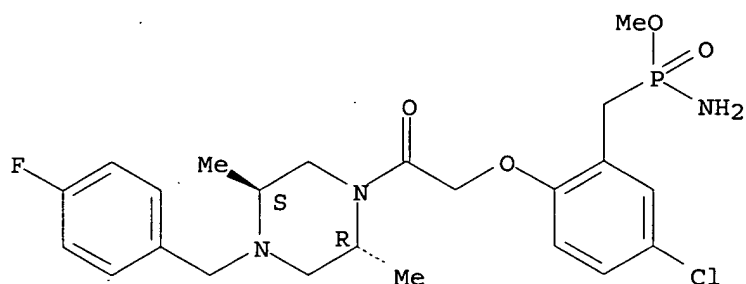
Absolute stereochemistry.



RN 713115-64-1 HCAPLUS

CN Phosphonamidic acid, P-[[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 713114-94-4P 713114-96-6P 713114-98-8P
713115-00-5P 713115-02-7P 713115-04-9P
713115-06-1P 713115-08-3P

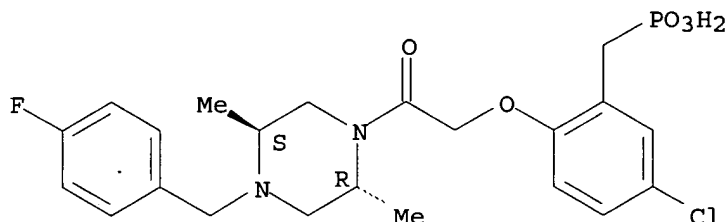
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of halobenzylpiperazinyl oxoalkoxyaryl phosphonic acid as novel phosphorus-containing derivs. for treatment of inflammation and other immune disorders)

RN 713114-94-4 HCAPLUS

CN Phosphonic acid, [[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

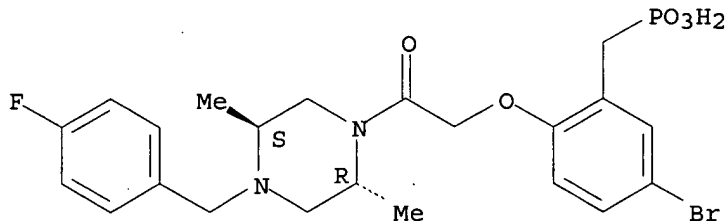
Absolute stereochemistry.



RN 713114-96-6 HCAPLUS

CN Phosphonic acid, [[5-bromo-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

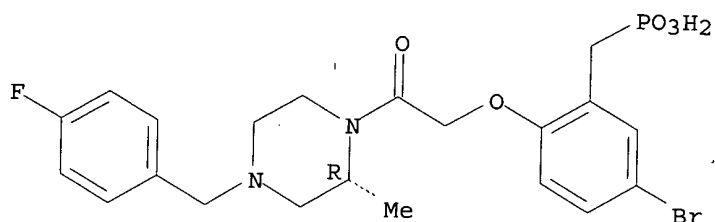
Absolute stereochemistry.



RN 713114-98-8 HCAPLUS

CN Phosphonic acid, [[5-bromo-2-[2-[(2R)-4-[(4-fluorophenyl)methyl]-2-methyl-1-piperazinyl]-2-oxoethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

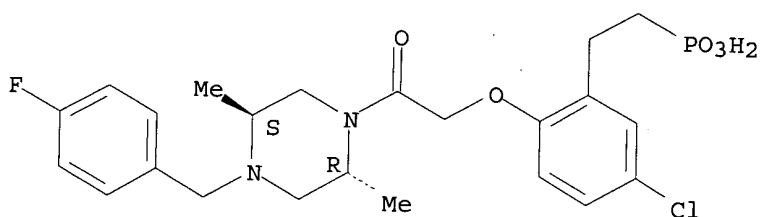
Absolute stereochemistry.



RN 713115-00-5 HCAPLUS

CN Phosphonic acid, [2-[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]ethyl]- (9CI) (CA INDEX NAME)

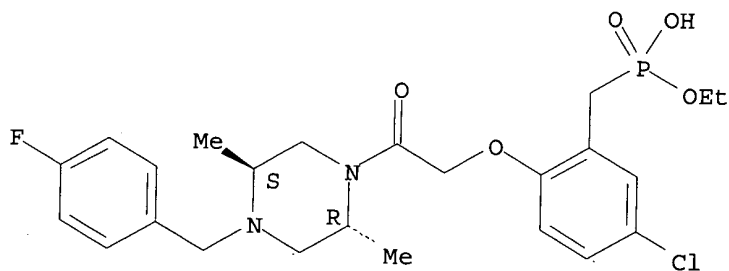
Absolute stereochemistry.



RN 713115-02-7 HCAPLUS

CN Phosphonic acid, [[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]methyl]-, monoethyl ester (9CI) (CA INDEX NAME)

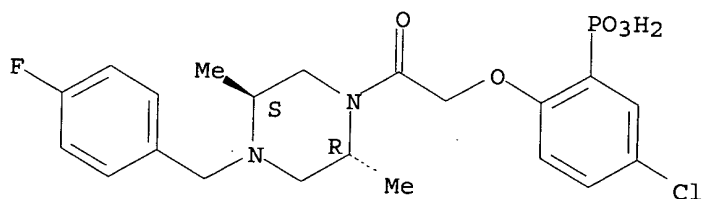
Absolute stereochemistry.



RN 713115-04-9 HCAPLUS

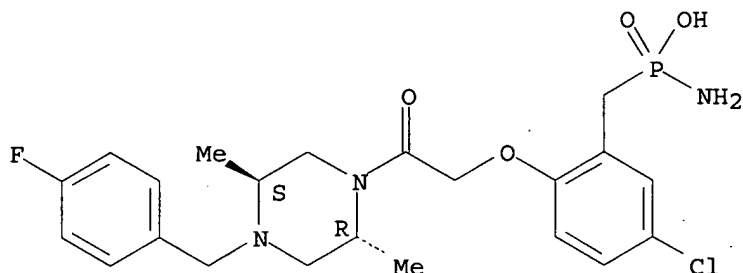
CN Phosphonic acid, [5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



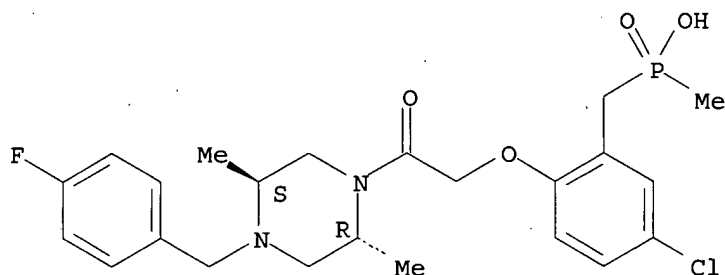
RN 713115-06-1 HCAPLUS
 CN Phosphonamidic acid, P-[[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



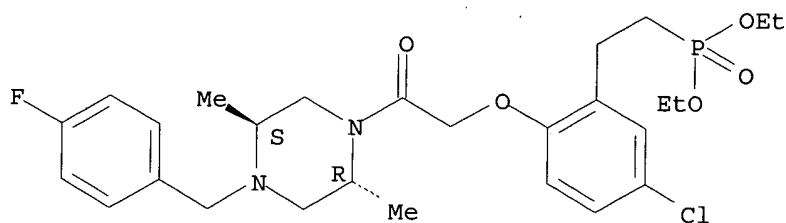
RN 713115-08-3 HCAPLUS
 CN Phosphinic acid, [[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]methyl]methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 713115-23-2P 713115-27-6P 713115-37-8P
 713115-38-9P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of halobenzylpiperazinyl oxoalkoxyaryl phosphonic acid as novel phosphorus-containing derivs. for treatment of inflammation and other immune disorders)
 RN 713115-23-2 HCAPLUS
 CN Phosphonic acid, [2-[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]ethyl]-, diethyl ester (9CI) (CA INDEX NAME)

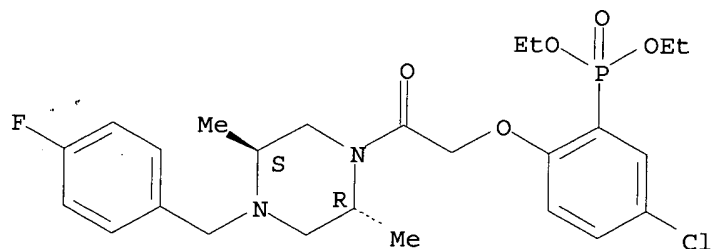
Absolute stereochemistry.



RN 713115-27-6 HCAPLUS

CN Phosphonic acid, [5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]-, diethyl ester (9CI) (CA INDEX NAME)

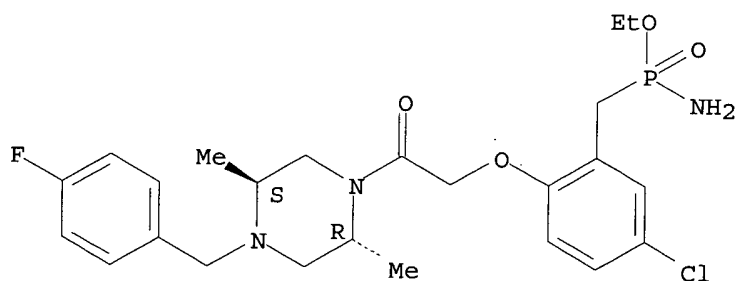
Absolute stereochemistry.



RN 713115-37-8 HCAPLUS

CN Phosphonamidic acid, P-[[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)

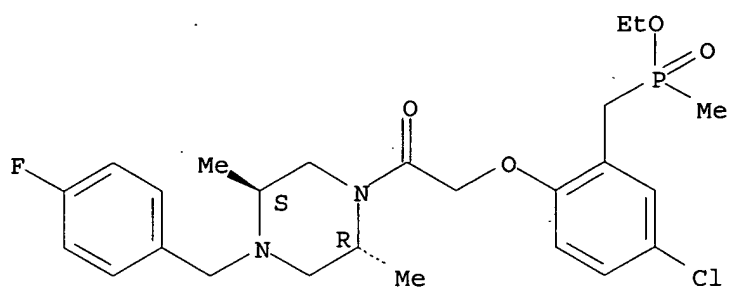
Absolute stereochemistry.



RN 713115-38-9 HCAPLUS

CN Phosphinic acid, [[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]methyl]methyl-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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